IN THE CLAIMS:

Replace claims 1-9 and 12-17 as originally filed with amended claims 1-9 and 12-17.

Cancel claims 10 and 11.

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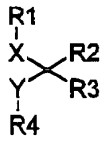
1. (Amended) A compound of general Formula I



(I)

or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R_1 is selected from the group consisting of:

C_1-C_6 alkyl, substituted with one or more basic groups;

cycloalkyl, substituted with one or more basic groups;

heterocyclyl, comprising at least one nitrogen atom;

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups; and

aryl, substituted with one or more basic groups;

R_2 is selected from the group consisting of H, acyl, acylamino, alkyl, alkylcarbamoyl, alkylthio, alkoxy, aroyl, aroylamino, aryloxy, arylthio, amidino, amino, aryl, carbamoyl, carboxy, cyano, cycloalkyl, formyl, guanidino, halogen, heterocyclyl, hydroxy, oxo, nitro, thiol, a Z_2N-CO-O- group, a ZO-CO-NZ- group, and a Z_2N-CO-NZ- group;

R_3 is selectected from the group consisting of $COOR_5$, $SO(OR_5)$, SO_3R_5, $P{=}O(OR_5)_2$, $B(OR_5)_2$, $P{=}OR_5(OR_5)$, tetrazole, and a carboxylic acid isostere;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

R_5 is H, C_1-C_6 alkyl, or aryl;

R_6 is H or C_1-C_6 alkyl;

X is selected from the group consisting of O, S, SO, SO_2, $C(Z)_2$, N(Z), NR_6SO_2, SO_2NR_6, NR_6CO, and $CONR_6$;

Y is $C(Z)_2$; and

contd. A1

Z is independently selected from the group consisting of H, C_1-C_6 alkyl, aryl, cycloalkyl, and heterocyclyl.

2. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

Sub B13

cycloalkyl, substituted with one or more basic groups;

heterocyclyl, comprising at least one nitrogen atom;

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups; and

aryl, substituted with one or more basic groups;

R_2 is selected from the group consisting of H, acyl, acylamino, alkyl, alkylcarbamoyl, alkylthio, alkoxy, aroyl, aroylamino, aryloxy, arylthio, amidino, amino, aryl, carbamoyl, carboxy, cyano, cycloalkyl, formyl, guanidino, halogen, heterocyclyl, hydroxy, oxo, nitro, thiol, Z_2N-CO-O-, ZO-CO-NZ-, and Z_2N-CO-NZ-;

R_3 is $COOR_5$;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

R_5 is H, C_1-C_6 alkyl, or aryl;

R_6 is H or C_1-C_6 alkyl;

X is selected from the group consisting of O, S, SO, SO_2, $C(Z)_2$, N(Z), NR_6SO_2, SO_2NR_6, and $CONR_6$;

Y is $C(Z)_2$; and

Z is independently selected from the group consisting of H, C_1-C_6 alkyl, aryl, cycloalkyl and heterocyclyl.

3. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

contd.
a1

cycloalkyl, substituted with one or more basic groups;

heterocyclyl, comprising at least one nitrogen atom; and

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups;

R_2 is selected from the group consisting of H, C_1-C_3 alkyl, amino, halogen, and hydroxy;

R_3 is $COOR_5$;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

Sub B13

R_5 is H, C_1-C_6 alkyl, or aryl;

X is $C(Z)_2$;

Y is $C(Z)_2$; and

Z is independently H or C_1-C_6 alkyl.

4. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

cycloalkyl, substituted with one or more basic groups; and

heterocyclyl, comprising at least one nitrogen atom;

R_2 is H, F, or C_1 alkyl;

R_3 is $COOR_5$;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

R_5 is H, C_1-C_6 alkyl, or aryl;

X is $C(Z)_2$;

Y is $C(Z)_2$; and

Z is independently H or C_1-C_6 alkyl.

5. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R_1 is selected from the group consisting of cyclopentyl, pyridyl, pyrimidinyl, piperidinyl, and thiazolyl;

contd. A1

R_2 is H, F, or C_1 alkyl;

R_3 is $COOR_5$;

R_4 is SH;

R_5 is H;

X is CHZ;

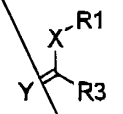
Y is CHZ; and

Z is independently H or C_1-C_6 alkyl.

Sub B13

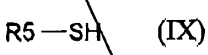
6. (Amended) A process for the preparation of a compound according to any one of claims 1-5, wherein R_1, R_3, R_4, and Y are as defined in claim 1, X is $C(Z)_2$, and R_2 is H, comprising the step of:

reacting a compound of Formula VI,



(VI)

wherein R_1, R_3 and Y are as defined in claim 1 and X is $C(Z)_2$, with a compound of Formula IX,



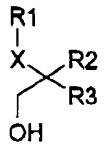
(IX)

wherein R_5 is a protecting group, optionally in the presence of a base or a free-radical initiator.

7. (Amended) A process for the preparation of a compound according to any one of claims 1-5, wherein R_1, R_2, R_3, and R_4 are as defined in claim 1, Y is CH_2, and X is O, S, $C(Z)_2$, or N(Z), comprising the step of:

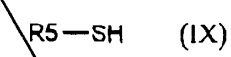
reacting a compound of Formula XIV,

contd. a/1



(XIV)

wherein R_1, R_2, and R_3 are as defined in claim 1, and X is O, S, $C(Z)_2$, or N(Z), with a compound of general Formula IX,

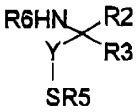


(IX)

wherein R_5 is a protecting group, in the presence of a reagent, under standard conditions.

8. (Amended) A process for the preparation of a compound according to any one of claims 1-5, wherein R_1, R_2, R_3, R_4, and Y are as defined in claim 1, and X is NR_6CO or NR_6SO_2, comprising the step of:

reacting a compound of general Formula XV,



(XV)

wherein R_2, R_3, R_6 and Y are as defined in claim 1 and R_5 is a protecting group, with a compound of general Formula XVI,

(XVI)

wherein R_1 is as defined in claim 1 and X is COOH or SO_2Cl, in the presence of a coupling reagent, under standard conditions.

9. (Amended) A pharmaceutical formulation comprising a compound according to any one of claims 1 to 5 as active ingredient in combination with a pharmaceutically acceptable adjuvant, diluent or carrier.

12. (Amended) A method for treatment or prophylaxis of conditions associated with inhibition of carboxypeptidase U, comprising administering to a patient in need of such treatment an effective amount of a compound according to any one of claims 1-5.

13. (Amended) A pharmaceutical formulation for the treatment or prophylaxis of conditions associated with inhibition of carboxypeptidase U, comprising a compound according to any one of claims 1-5 in combination with a pharmaceutically acceptable adjuvant, diluent, or carrier.

14. (Amended) A pharmaceutical formulation, comprising:

(i) a compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt; and

(ii) one or more antithrombotic agents with a different mechanism of action from that of component (i),

in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier.

15. (Amended) A kit of parts comprising:

(i) a pharmaceutical formulation comprising a compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier; and

(ii) a pharmaceutical formulation comprising one or more antithrombotic agents with a different mechanism of action from that of component (i),

in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier,

wherein compound (i) and agent (ii) are each formulated for administration in conjunction with the other.

16. (Amended) A method for treatment of a patient suffering from, or susceptible to, a condition in which inhibition of carboxypeptidase U and a different antithrombotic mechanism are required or desired, which method comprises administering to the patient a therapeutically effective total amount of:

contd. A2

(i) a compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier; and

(ii) one or more antithrombotic agents with a different mechanism of action from that of component (i),

Sub B13

in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier.

17. (Amended) A method for the treatment of a patient suffering from, or susceptible to, a condition in which inhibition of carboxypeptidase U and a different antithrombotic mechanism are required or desired, which method comprises administering to the patient the formulation according to claim 14.

Add new claims 18-28.

A3

18. (New) The compound according to any one of claims 1-4, wherein the basic group is selected from the group consisting of amino, amidino, and guanidino.

19. (New)The process according to claim 6, wherein the protecting group is selected from the group consisting of acetate (Ac), benzoyl (Bz), benzyl (Bn), and 4-methoxybenzyl (PMB).

Sub B13

20. (New) The process according to claim 6, wherein the base is selected from the group consisting of NaOMe, NaH, and triethylamine .

21. (New) The process according to claim 6, wherein the free-radical initiator is α,α′-azoiso-butyronitrile (AIBN).

22. (New) The process according to claim 7, wherein the protecting group is acetate (Ac) or benzoyl (Bz).

23. (New) The process according to claim 7, wherein the reagent is PPh_3/diisopropyl azodicarboxylate (DIAD).

24. (New) The process according to claim 8, wherein the protecting group is selected from the group consisting of acetate (Ac), benzoyl (Bz), benzyl (Bn), and 4-methoxybenzyl (PMB).

contd. a3

25. (New) The process according to claim 8, wherein the coupling reagent is selected from the group consisting of:

(i) (benzotriazol-1-yloxy)tripyrrolidinophosphonium hexafluorophosphate (PyBOP)/ diisopropylethylamine (DIPEA);

(ii) dicyclohexylcarbodiimide (DCC)/1-hydroxybenzotriazol (HOBt);

(iii) 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide (EDC)/triethylamine (TEA)/N,N-dimethyl amino pyridine (DMAP); and

(iv) pyridine.

Sub B13

26. (New) The formulation according to claim 14, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.

27. (New) The kit according to claim 15, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.

28. (New) The method according to claim 16, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.